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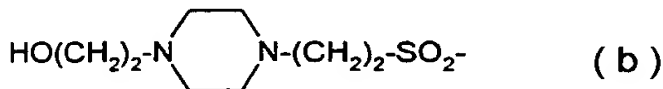
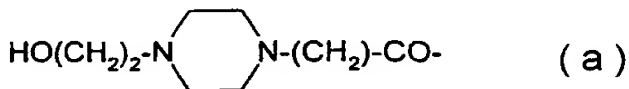
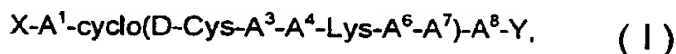
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(54) Title: SOMATOSTATIN AGONISTS



(57) Abstract: The present invention is directed to cyclic peptides of formula (I): X-A¹-cyclo(D-Cys-A³-A⁴-Lys-A⁶-A⁷)-A⁸-Y, or a pharmaceutically acceptable salt thereof, wherein X is H, formula (a) or formula (b); A¹ and A³ are each independently the D- or L-isomer of an amino acid selected from the group consisting of Phe, Tyr, Tyr(I), Trp, 3-Pal, 4-Pal, Cpa and Nal; A⁴ is L-Trp, D-Trp, L-β-methyl-Trp or D-β-methyl-Trp; A⁶ is -NH-(CHR¹)_n-CO-, where n is 2, 3, or 4; A⁷ is L- or D-Cys; A⁸ is the D- or L-isomer of an amino acid selected from the group consisting of Phe, Tyr, Tyr(I), Trp, Nal, Cpa, Val, Leu, Ile, Ser and Thr; Y is NR²R³ where R² and R³ are each independently H or (C₁-C₅)alkyl;

R¹ is selected from the group consisting of H, (C₁-C₄)alkyl and -CH₂-aryl; wherein said aryl is an optionally substituted moiety selected from the group consisting of phenyl, 1-naphthyl, and 2-naphthyl, wherein said optionally substituted moiety is optionally substituted with one or more substituents each independently selected from the group consisting of (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₂₋₆)alkynyl, aryl, aryl(C₁₋₆)alkyl, (C₁₋₆)alkoxy, -N(R⁴R⁵), -COOH, -CON(R⁴R⁵), halo, -OH, -CN, and -NO₂; R⁴ and R⁵ each is, independently for each occurrence, H or (C₁₋₃)alkyl; where the Cys of A² is bonded to the Cys of A⁷ by a di-sulfide bond formed from the thiol groups of each Cys; pharmaceutical compositions comprising said peptides and the use thereof as a somatostatin receptor subtypes agonist. The peptides of the present invention bind selectively to the somatostatin subtype receptor type-5 and elicit an agonist effect from the somatostatin subtype receptors that the peptides bind to.

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